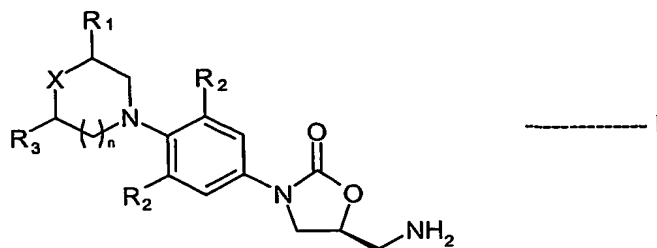


We claim:

1. A process for the preparation of 5-aminomethyl substituted oxazolidinones of formula I:



5 wherein

X is O, S, SO or SO<sub>2</sub>;

R<sub>1</sub> is H, CH<sub>3</sub> or CN;

R<sub>2</sub> is independently H, F or Cl;

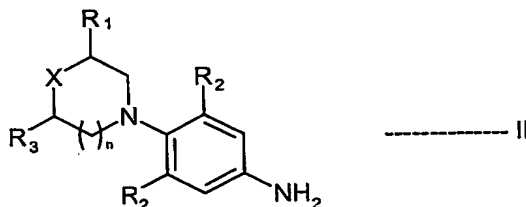
R<sub>3</sub> is H or CH<sub>3</sub>;

10

n is 0, 1 or 2;

which comprises;

a) reacting a compound of formula II:



15

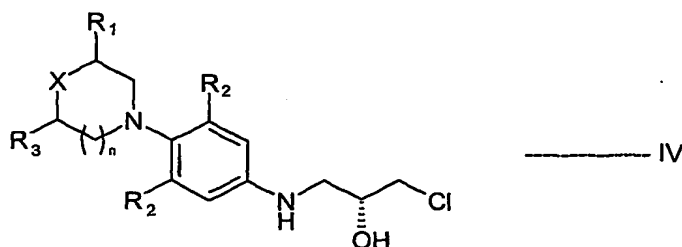
wherein R<sub>1</sub>, R<sub>3</sub>, X, R<sub>2</sub> and n are as defined in formula I

with R-epichlorohydrin of formula III:



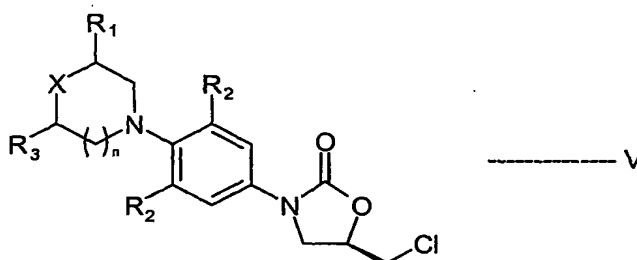
20

to produce a compound of formula IV:



wherein  $R_1$ ,  $R_3$ ,  $X$ ,  $R_2$  and  $n$  are as defined in formula I;

- 5    b) converting the product of step (a) to chloromethyl oxazolidinone compound of formula V:



wherein  $R_1$ ,  $R_3$ ,  $X$ ,  $R_2$  and  $n$  are as defined in formula I;

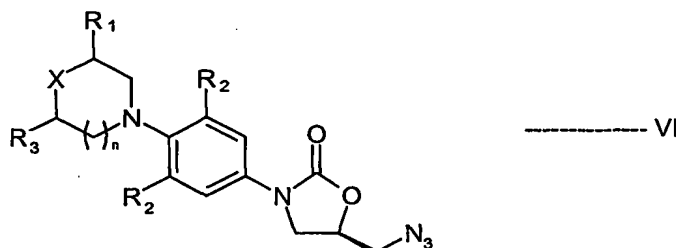
10   and

- c)    converting the chloromethyl oxazolidinone compound of step (b) to aminomethyl oxazolidinone of formula I.
2. The process according to claim 1, wherein the aminomethyl oxazolidinone formed is the compound of formula I, wherein  $R_1=R_3$  is H;  $R_2$  is independently H and F;  $X$  is O or S; and  $n$  is 1.
  - 15   3. The process according to claim 2, wherein the aminomethyl oxazolidinone is the compound of formula I, wherein  $R_1=R_3$  is H;  $R_2$  is independently H and F;  $X$  is O; and  $n$  is 1.
  4. The process according to claim 3, wherein the aminomethyl oxazolidinone is the compound of formula I, wherein  $R_1=R_3$  is H; one  $R_2$  is H and the other  $R_2$  is F;  $X$  is O; and  $n$  is 1.
  - 20   5. The process according to claim 1, wherein the quantity of epichlorohydrin is at least one molar equivalent per equivalent of phenyl amine of formula II.

6. The process according to claim 1, wherein the reaction in step (a) is carried out without the use of solvent.
7. The process according to claim 6, wherein the reaction is carried out at about 40 - 150°C.
- 5 8. The process according to claim 7, wherein the reaction is carried out at about 40 - 120°C.
9. The process according to claim 1, wherein the solvent used in step (a) is selected from the group consisting of tetrahydrofuran, N,N-dimethylformamide, N, N-dimethylacetamide, acetonitrile, methanol, ethanol,  
10 tert-amyl alcohol, t-butyl alcohol and Isopropyl alcohol.
10. The process according to claim 9, wherein the solvent is selected from methanol, isopropyl alcohol and N,N-dimethylformamide.
11. The process according to claim 10, wherein the solvent is methanol.
12. The process according to claim 10, wherein the solvent is isopropyl alcohol.
- 15 13. The process according to claim 10, wherein the solvent is N,N-dimethylformamide.
14. The process according to claim 1, wherein the reaction in the step (a) is performed at or below the boiling temperature of the solvent.
15. The process according to claim 14, wherein the reaction is performed at  
20 between 10°C and boiling temperature of the solvent.
16. A process according to claim 15, wherein the reaction is performed at boiling temperature of the solvent.
17. The process according to claim 1, wherein the chlorohydrin compound of formula IV is subjected in the step (b) to carbonylation using a carbonylating  
25 agent to provide chloromethyl oxazolidinone compound of formula V.
18. The process according to claim 17, wherein the carbonylating reagent is selected from carbonyldiimidazole, phosgene, methyl chloroformate, benzyl chloroformate and phenylchloroformate.
19. The process according to claim 18, wherein the carbonylating reagent is  
30 carbonyldiimidazole or phosgene.
20. The process according to claim 19, wherein the carbonylating reagent is carbonyldiimidazole.
21. The process according to claim 17, wherein the carbonylation reaction is performed in the presence of an aprotic solvent or a mixture thereof.

22. The process according to claim 21, wherein the aprotic solvent is selected from methylenedichloride, ethylenedichloride and chloroform.

23. The process according to claim 1, wherein the chloromethyl oxazolidinone compound of formula V is converted in the step (c) to the compound of formula I as defined in claim 1, which comprises reacting the said  
5 chloromethyl oxazolidinone with an azide source to give an azide compound of formula VI:



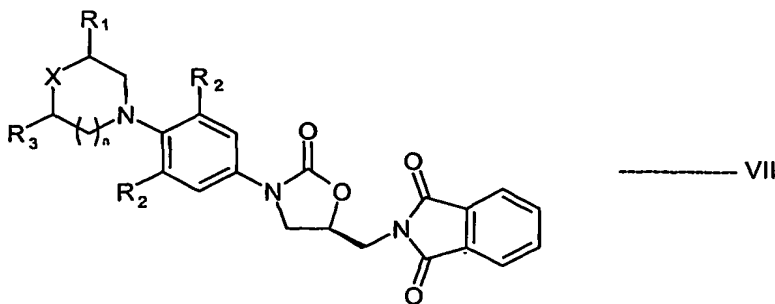
wherein  $R_1$ ,  $R_3$ ,  $X$ ,  $R_2$  and  $n$  are as defined in formula I;

10 and then reducing the said azide compound to obtain the said compound of formula I.

24. The process according to claim 23, wherein the azide source is sodium azide or potassium azide.

25. The process according to claim 23, wherein the reduction is carried out with  
15 hydrogen using palladium on carbon catalyst.

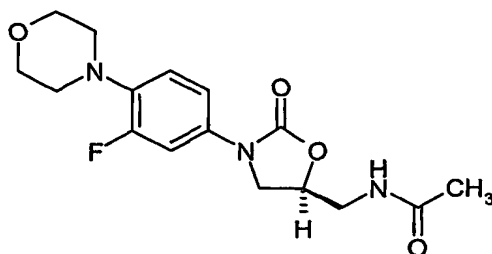
26. The process according to claim 1, wherein the chloromethyl oxazolidinone compound of formula V is converted in the step (c) to the compound of formula I as defined in claim 1, which comprises reacting the said  
20 chloromethyl oxazolidinone with potassium phthalimide to give phthalimido compound of formula VII:



wherein  $R_1$ ,  $R_3$ ,  $X$ ,  $R_2$  and  $n$  are as defined in formula I;

and then reacting the said phthalimido compound formed with hydrazine hydrate to obtain the said compound of formula I.

27. The process according to claim 26, wherein the reaction with potassium  
5 phthalimide is carried out in presence of solvent or a mixture thereof.
28. The process according to claim 27, wherein the solvent is dimethylformamide or acetonitrile.
29. The process according to claim 27, wherein the reaction is performed between about 10°C and boiling temperature of the solvent used.
- 10 30. A process for the preparation of linezolid of formula:

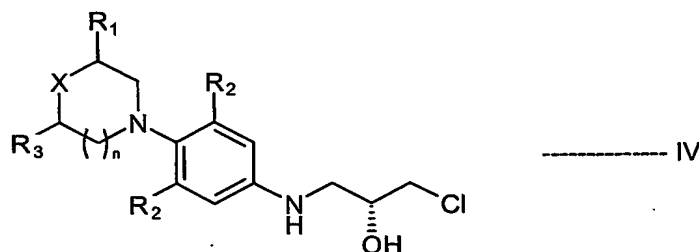


which comprises:

- a) reacting 3-fluoro-4-morpholinyl aniline with R-epichlorohydrin to produce N-[3-Chloro-2-(R)-hydroxypropyl]-3-fluoro-4-morpholinyl aniline;
- 15 b) subjecting N-[3-Chloro-2-(R)-hydroxypropyl]-3-fluoro-4-morpholinyl aniline produced in step (a) to carbonylation using a carbonylating agent to provide (5R)-5-(chloromethyl)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxazolidinone;
- c) reacting (5R)-5-(chloromethyl)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxazolidinone produced in step (b) with potassium phthalimide to provide (S)-N-[[3-  
20 [3-Fluoro-4-[4-morpholinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]phthalimide;
- d) reacting (S)-N-[[3-[3-Fluoro-4-[4-morpholinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]phthalimide produced in step (c) with hydrazine hydrate to produce S-N-[[3-[3-Fluoro-4-[4-morpholinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]amine; and
- 25 e) reacting S-N-[[3-[3-Fluoro-4-[4-morpholinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]amine produced in step (d) with acetic anhydride to produce linezolid;

31. The process according to claim 30, wherein the solvent used in step (a) is selected from the group consisting of tetrahydrofuran, N,N-dimethylformamide, N, N-dimethylacetamide, acetonitrile, methanol, ethanol, tert-amyl alcohol, t-butyl alcohol and Isopropyl alcohol.
- 5 32. The process according to claim 31, wherein the solvent used in step (a) is selected from methanol, isopropyl alcohol and N, N-dimethylformamide.
33. The process according to claim 32, wherein the solvent is methanol.
34. The process according to claim 32, wherein the solvent is isopropyl alcohol.
35. The process according to claim 30, wherein the amount of epichlorohydrin  
10 used is one molar equivalent per equivalent of 3-fluoro-4-morpholinyl aniline.
36. The process according to claim 30, wherein the reaction in the step (a) is performed at or below boiling temperature of the solvent.
37. The process according to claim 36, wherein the reaction is performed at between 10°C and boiling temperature of the solvent.
- 15 38. The process according to claim 37, wherein the reaction is performed at boiling temperature of the solvent.
39. The process according to claim 30, wherein the carbonylating reagent is selected from carbonyldiimidazole, phosgene, methyl chloroformate, benzyl chloroformate and phenylchloroformate.
- 20 40. The process according to claim 39, wherein the carbonylating reagent is carbonyldiimidazole or phosgene.
41. The process according to claim 40, wherein the carbonylating reagent is carbonyldiimidazole.
42. The process according to claim 30, wherein the carbonylation reaction in the  
25 step (b) is performed in the presence of an aprotic solvent or a mixture thereof.
43. The process according to claim 42, wherein the aprotic solvent is selected from methylenedichloride, ethylenedichloride and chloroform.
44. The process according to claim 30, wherein the step (c), the reaction is  
30 carried out in a solvent or a mixture thereof.
45. The process according to claim 44, wherein the solvent is dimethylformamide or acetonitrile.
46. The process according to claim 30, wherein the reaction is performed between about 10°C and the boiling temperature of the solvent used.

47. The process according to claim 46, wherein the reaction in the step (c) is performed between about 40°C and boiling temperature.
48. The process according to claim 47, wherein the reaction is performed at boiling temperature of the solvent used.
- 5 49. The process according to claim 30, wherein the step (d), the reaction is carried out in a solvent.
50. The process according to claim 49, wherein the solvent is selected from methanol, ethanol and isopropyl alcohol.
51. The process according to claim 30, wherein the step (e), the reaction is
- 10 carried out in ethyl acetate or methylenedichloride.
52. A compound of formula IV:



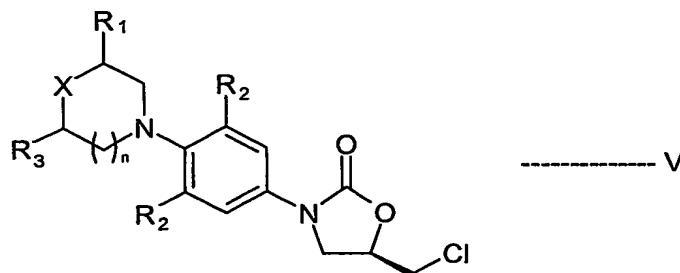
wherein

- X is O, S, SO or SO<sub>2</sub>;
- 15 R<sub>1</sub> is H, CH<sub>3</sub> or CN;
- R<sub>2</sub> is independently H, F or Cl;
- R<sub>3</sub> is H or CH<sub>3</sub>;

n is 0, 1 or 2.

53. The compound of formula IV as defined in claim 52, wherein R<sub>1</sub>=R<sub>3</sub> is H; R<sub>2</sub>
- 20 is independently H and F; X is O or S; and n is 1.
54. The compound of formula IV as defined in claim 53, wherein R<sub>1</sub> = R<sub>3</sub> is H; one R<sub>2</sub> is H and the other R<sub>2</sub> is F; X is O; and n is 1.
55. The use of compound of formula V:

25



wherein

X is O, S, SO or SO<sub>2</sub>;

R<sub>1</sub> is H, CH<sub>3</sub> or CN;

R<sub>2</sub> is independently H, F or Cl;

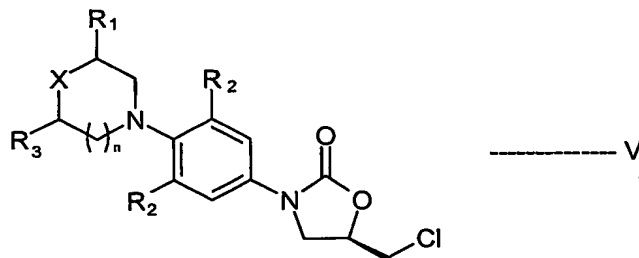
5 R<sub>3</sub> is H or CH<sub>3</sub>;

n is 0, 1 or 2; for the preparation of the compounds of formula I as defined in claim I.

56. The use of the compound of formula V as defined in claim 55, wherein R<sub>1</sub>=R<sub>3</sub> is H; R<sub>2</sub> is independently H and F; X is O or S; and n is 1.

10 57. The use of compound of formula V as defined in claim 56, wherein R<sub>1</sub> = R<sub>3</sub> is H; one R<sub>2</sub> is H and the other R<sub>2</sub> is F; X is O; and n is 1.

58. A compound of formula V:



wherein

X is O, S, SO or SO<sub>2</sub>;

15 R<sub>1</sub> is H, CH<sub>3</sub> or CN;

R<sub>2</sub> is independently H, F or Cl;

R<sub>3</sub> is H or CH<sub>3</sub>;

n is 0, 1 or 2;

with the exception of the compound of formula V, wherein R<sub>1</sub> = R<sub>3</sub> is H; one R<sub>2</sub> is

20 H and the other R<sub>2</sub> is F; X is O; and n is 1.